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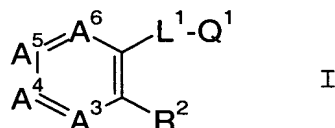
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c.) Amendments to the Claims

1. (Currently amended) A compound of formula I



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(or a pharmaceutically acceptable salt thereof) wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶; wherein

R³ is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R⁴ and R⁵ is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, R^fO₂CCH₂O-, HO(CH₂)_aO- (in which a is 2, 3 or 4), R^fO₂C-, R^fO₂CCH₂-, R^gNH-, R^hSO₂-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R^fO₂C(CH₂)₂-;

the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen, methyl, fluoro, chloro or methoxy;

in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino;

or each of R³, R⁴ and R⁶ is hydrogen; and R⁵ is vinyl, 2-cyanovinyl, 2-((1-2C)alkoxy)carbonylvinyl or R^a in which R^a is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

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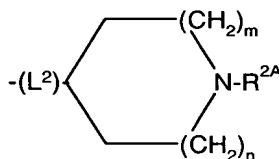
and may bear one or more methyl substituents on carbon or nitrogen);

L^1 is $-CO-NH-$ such that $-L^1-Q^1$ is $-CO-NH-Q^1$;

Q^1 is ~~2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6 position);~~

R^2 is $-L^2-Q^2$ in which $-L^2-$ is $-NH-CO-$, $-NH-CO-X-$, $-NH-CO-O-X-$, $-NH-CO-NH-X-$, $-NH-CH_2-$, $-NH-C(CH_3)H-$, $-N(CH_3)-CH_2-$ or $-O-CH_2-$; and Q^2 is Q^{2A} , Q^{2B} , Q^{2C} , Q^{2D} , Q^{2E} or Q^{2F} ~~Q^{2E}~~ wherein X is a single bond or methylene and the values of L^2 and Q^2 are together selected from $-NH-CO-X-Q^{2A}$, $-NH-CO-O-X-Q^{2A}$, $-NH-CO-NH-X-Q^{2A}$, $-NH-CH_2-Q^{2A}$, $-NH-C(CH_3)H-Q^{2A}$, $-N(CH_3)-CH_2-Q^{2A}$, $-O-CH_2-Q^{2A}$, $-NH-CO-X-Q^{2B}$, $-NH-CO-Q^{2C}$, $-NH-CO-Q^{2D}$, $-NH-CO-Q^{2E}$ and $-NH-CO-Q^{2F}$ in which:

Q^{2A} (showing the L^2 to which it is attached) is



in which

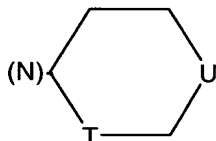
each of m and n independently is 0 or 1, or m is 2 and n is 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, $-CHRYR^Z$, $-CHR^W R^X$, or 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

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each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



5

in which T is a single bond or methylene and U is methylene, ethylene, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

10 ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and
15 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon
20 and may bear one or more methyl substituents on carbon or nitrogen);

or R^{2A} is $-L^b-CH_2-R^b$ in which $-L^b-$ is a direct bond, $-CH_2-$, $-C(CH_3)H-$ or $-CH_2-CH_2-$; and R^b is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

25 or R^{2A} is $-CO-R^C$ in which R^C is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$ (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a
30 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

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a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or $-NR^dR^e$ in which each of R^d and R^e is

5 independently hydrogen, methyl or ethyl, or $-NR^dR^e$ is pyrrolidino, piperidino, morpholino or thiomorpholino;

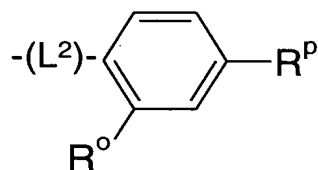
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

10 Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^sR^t$ in which each of R^s and R^t independently is hydrogen or methyl or R^s and R^t together are trimethylene or tetramethylene;

15 Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^sR^t$ (defined as above); and

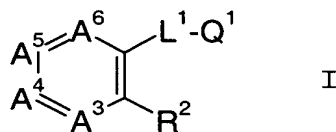
Q^{2F} (showing the L^2 to which it is attached) is



20 in which R^O is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^P is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or $-J-R^Q$ in which J is a single bond, 25 methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^F-$ (wherein R^F is hydrogen or methyl); and R^Q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or $-NR^Q R^F$ is pyrrolidino.

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2. (Currently amended) The compound of formula I as claimed in Claim 1



5

(or a pharmaceutically acceptable salt thereof) wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶;

10 wherein

R³ is hydrogen, methyl, fluoro, chloro or carboxy;
one of R⁴ and R⁵ is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, R^fO₂CCH₂O-, HO(CH₂)_aO- (in which a is 2, 3 or 4), R^fO₂C-, R^fO₂CCH₂-,

15 R^gNH- or R^hSO₂-;

the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen, methyl, fluoro, chloro or methoxy;

in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino;

20 L¹ is -CO-NH- such that -L¹-Q¹ is -CO-NH-Q¹;

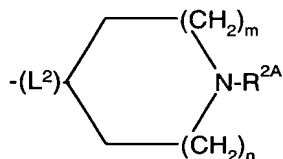
~~Q¹ is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6 position);~~

25 R² is -L²-Q² in which -L²- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH₂- or -O-CH₂-; and Q² is
30 Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L² and Q² are together selected from -NH-CO-X-Q^{2A}, -NH-CO-O-X-Q^{2A}, -NH-CO-NH-X-Q^{2A},

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-NH-CH₂-Q^{2A}, -O-CH₂-Q^{2A}, -NH-CO-X-Q^{2B}, -NH-CO-Q^{2C},
 -NH-CO-Q^{2D}, -NH-CO-Q^{2E} and -NH-CO-Q^{2F} in which:

Q^{2A} (showing the L² to which it is attached) is



5

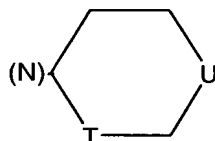
in which

each of m and n independently is 0 or 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, -CHRYR^Z,
 10 -CHR^WR^X, or 4-pyridinyl (which is unsubstituted or bears a
 substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;
 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or
 15 (1-3C)normal alkyl; or -CHR^WR^X is 2-indanyl or (showing the
 nitrogen to which it is attached) is



20 in which T is a single bond or methylene and U is methylene,
 ethylene, oxy, -S(O)_q- (wherein q is 0, 1 or 2) or imino
 (which may bear a methyl substituent), or T is
 ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

25 R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl
 (which is unsubstituted or bears one or more substituents
 independently selected from halo, methyl, methoxy and
 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

- 10 -

5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

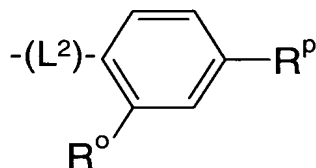
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

10 Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^SR^t$ in which each of R^S and R^t independently is hydrogen or methyl or R^S and R^t together are trimethylene or tetramethylene;

15 Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^SR^t$ (defined as above); and

Q^{2F} (showing the L^2 to which it is attached) is



20 in which R^O is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^P is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or $-J-R^Q$ in which J is a single bond, 25 methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^r-$ (wherein R^r is hydrogen or methyl); and R^Q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

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3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶;

wherein

R³ is hydrogen;

one of R⁴ and R⁵ is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R^fO₂C- or R^gNH-;

the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen;

in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino;

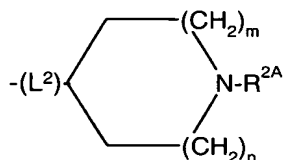
L¹ is -CO-NH- such that -L¹-Q¹ is -CO-NH-Q¹;

Q¹ is ~~2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6 position);~~

R² is -L²-Q² in which -L²- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH₂- or -O-CH₂-; and Q² is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L² and Q² are together selected from -NH-CO-X-Q^{2A}, -NH-CO-O-X-Q^{2A}, -NH-CO-NH-X-Q^{2A}, -NH-CH₂-Q^{2A}, -O-CH₂-Q^{2A}, -NH-CO-X-Q^{2B}, -NH-CO-Q^{2C}, -NH-CO-Q^{2D}, -NH-CO-Q^{2E} and -NH-CO-Q^{2F} in which:

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Q^{2A} (showing the L² to which it is attached) is



5 in which

each of m and n independently is 0 or 1, and

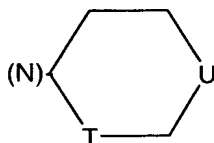
R^{2A} is hydrogen, -CHR^YR^Z, -CHR^WR^X, or 4-pyridinyl

(which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

10 R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or -CHR^WR^X is 2-indanyl or (showing the nitrogen to which it is attached) is

15



in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent),

20 or T is ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl

(which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and

25 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has ~~includes~~ one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has ~~includes~~ one to three

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nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

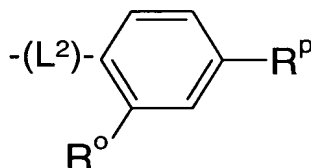
5 Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

10 Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^SR^t$ in which each of R^S and R^t independently is hydrogen or methyl or R^S and R^t together are trimethylene or tetramethylene;

Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^SR^t$ (defined as above); and

Q^{2F} (showing the L^2 to which it is attached) is



15

in which R^o is hydrogen and R^p is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, 20 dimethylaminosulfonyl or $-J-R^q$ in which J is a single bond, methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^r-$ (wherein R^r is hydrogen or methyl); and R^q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

25 4. (Original) The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, 30 butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

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5. (Currently amended) The compound of Claim 4 ~~any of Claims 1-4~~ wherein Q¹ is 5-chloropyrimidin-2-yl
5-chloropyridin-2-yl, 5-fluoropyridin-2-yl, or
5 6-chloropyridazin-3-yl.

6. (Currently amended) The compound of Claim 4 ~~any of Claims 1-5~~ wherein R² is (1-isopropylpiperidin-4-yl-
carbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,
10 (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-
15 piperidin-4-ylmethyl]amino.

7. (Currently amended) The compound as claimed in
Claim 4 ~~any of Claims 1-6~~ wherein each of R³-R⁶ is hydrogen.

20 8. (Currently amended) The compound as claimed in
Claim 4 ~~any of Claims 1-6~~ wherein each of R³, R⁴ and R⁶ is
hydrogen and R⁵ is chloro or fluoro.

9. (Currently amended) The compound as claimed in
25 Claim 1 ~~any of Claims 1, 4, 5 and 6~~ wherein each of R³, R⁴
and R⁶ is hydrogen and R⁵ is R^a wherein R^a is phenyl,
furanyl, thienyl, 2-isothiazolyl or pyridyl; and wherein
halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl
or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl;
30 (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl,
isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl,
butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl,
cyclobutyl, cyclopentyl or cyclohexyl.

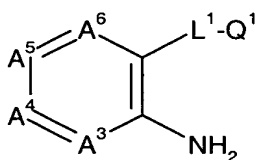
- 15 -

10. (Currently amended) The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-3 ~~1-9~~ which is an acid-addition salt made from a basic compound of formula I and an acid which provides a pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in any of Claims 1-3 ~~1-10~~.

12. (Original) A process for preparing a compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

(A) for a compound of formula I in which $-L^2-Q^2$, is $-NH-CO-Q^2$, $-NH-CO-X-Q^2$, $-NH-CO-O-X-Q^2$ or $-NH-CO-NH-X-Q^2$, acylating an amine of formula II,

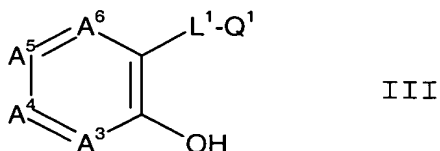


II

using a corresponding acid of formula $HO-CO-Q^2$, $HO-CO-X-Q^2$, $HO-CO-O-X-Q^2$, or $HO-CO-NH-X-Q^2$, or an activated derivative thereof;

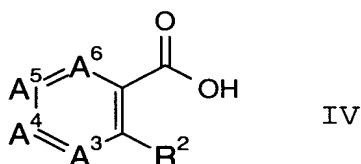
(B) for a compound of formula I in which $-L^2-Q^2$ is $-O-CH_2-Q^2A$, alkylating a phenol of formula III

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using a reagent of formula $Y-CH_2-Q^{2A}$ in which Y is a conventional leaving group;

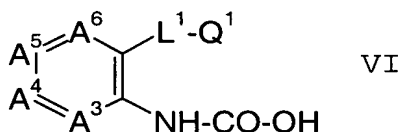
- 5 (C) acylating an amine of formula H_2N-Q^1 , or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;



10

- (D) for a compound of formula I in which R^2 is $-NH-CH_2-Q^{2A}$, alkylating an amine of formula II directly, using a compound of formula $Y-CH_2-Q^{2A}$, or indirectly by reductive alkylation using an aldehyde of formula $Q^{2A}-CHO$;

- 15 (E) for a compound of formula I in which R^2 is $-NH-CO-O-X-Q^{2A}$, or $-NH-CO-NH-X-Q^{2A}$, acylating an alcohol of formula $HO-X-Q^{2A}$ or an amine of formula NH_2-X-Q^{2A} , using an activated derivative of an acid of formula VI;



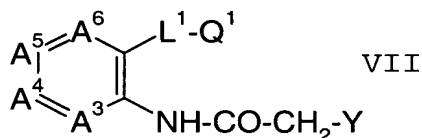
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- (F) for a compound of formula I in which R^2 is $-NH-CO-X-Q^{2B}$ in which X is a single bond, acylating at the 1-position a piperazine of formula $H-Q^{2B}$, using an activated
- 25 derivative of an acid of formula VI;

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(G) for a compound of formula I in which R^2 is $-NH-CO-X-Q^{2B}$ in which X is methylene, alkylating at the 1-position a piperazine of formula $H-Q^{2B}$, using an alkylating agent of formula VII

5



in which Y is a leaving group;

(H) for a compound of formula I in which R^{2A} is methylsulfonyl, substituting the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R^{2A} is $-CHRYR^Z$ or $-CHRW^RX$, alkylating the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using an alkylating agent of formula $Y-CHRYR^Z$ or $Y-CHRW^RX$ or reductively alkylating the amine using a compound of formula $RY-CO-R^Z$ or R^W-CO-R^X ;

(J) for a compound of formula I in which R^{2A} is 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is alkoxycarbonyl, esterifying a corresponding compound of formula I in which R^V is carboxy;

(L) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(M) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is carbamoyl, amidating the ester of

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a corresponding compound of formula I in which R^V is alkoxy carbonyl;

(N) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is thiocarbamoyl, adding H₂S to the nitrile of a corresponding compound of formula I in which R^V is cyano;

(O) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is N-hydroxyamidino, adding H₂NOH to the nitrile of a corresponding compound of formula I in which R^V is cyano;

(P) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is carboxy, decomposing the ester of a corresponding compound of formula I in which R^V is alkoxy carbonyl;

(Q) for a compound of formula I in which -NR^SR^t is other than amino, alkylating a corresponding compound of formula I in which -NR^SR^t is amino using a conventional method;

(R) for a compound of formula I which bears -NR^SR^t, reductively alkylating H-NR^SR^t using a corresponding compound but in which the carbon to bear the -NR^SR^t group bears an oxo group;

(S) for a compound of formula I in which R^P is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which R^P is acetyl using an organometallic reagent;

(T) for a compound of formula I in which R^P is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which R^P is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R⁴ or R⁵ is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R⁴ or R⁵ is nitro;

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(V) for a compound of formula I in which R^4 or R^5 is $R^G\text{NH-}$ and R^G is $R^h\text{SO}_2\text{-}$, substituting the amino group of a corresponding compound of formula I in which R^4 or R^5 is amino using an activated derivative of the sulfonic acid

5 $R^h\text{SO}_2\text{-OH}$;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a

10 pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a

15 physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified, $A^3\text{-}A^6$, L^1 , Q^1 and R^2 have any of the values defined in Claim 1 or 2.

20 13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the a-mammal in need thereof of treatment, an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

25 14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein R^2 is

(1-isopropylpiperidin-4-ylcarbonyl)amino,

(1-cyclohexylpiperidin-4-ylcarbonyl)amino,

30 (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-dinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-

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4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein
5 each of R^3 - R^6 is hydrogen.

19. (New) The compound as claimed in Claim 6 wherein
each of R^3 - R^6 is hydrogen.

10 20. (New) The compound as claimed in Claim 17 wherein
each of R^3 - R^6 is hydrogen.

21. (New) The compound as claimed in Claim 5 wherein
each of R^3 , R^4 and R^6 is hydrogen and R^5 is chloro or
15 fluoro.

22. (New) The compound as claimed in Claim 6 wherein
each of R^3 , R^4 and R^6 is hydrogen and R^5 is chloro or
fluoro.

20 23. (New) The compound as claimed in Claim 17 wherein
each of R^3 , R^4 and R^6 is hydrogen and R^5 is chloro or
fluoro.

25 24. (New) The compound of Claim 9 wherein Q^1 is
5-chloropyrimidin-2-yl.

25. (New) The compound of Claim 9 wherein R^2 is
(1-isopropylpiperidin-4-ylcarbonyl)amino,
30 (1-cyclohexylpiperidin-4-ylcarbonyl)amino,
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-

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4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R² is
5 (1-isopropylpiperidin-4-ylcarbonyl)amino,
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridiny]piper-
10 idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-
piperidin-4-ylmethyl]amino.

27. (New) N-(5-Chloropyrimidin-2-yl)-2-[[1-(4-pyri-
15 dinyl)piperidin-4-ylcarbonyl]amino]benzamide, or
a pharmaceutically acceptable salt thereof.